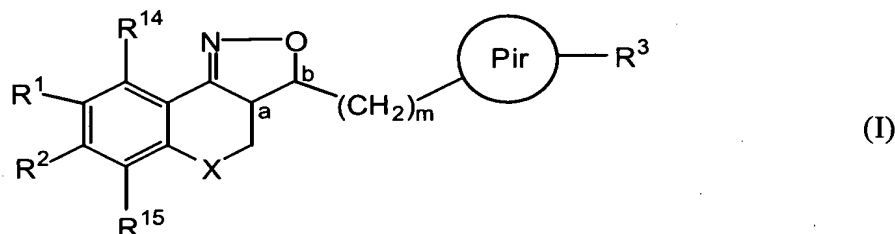


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CLAIMS

1. A compound according to the general Formula (I)

10



the pharmaceutically acceptable acid or base addition salts thereof, the stereochemically isomeric forms thereof and the *N*-oxide form thereof, wherein :

X is CH₂, N-R⁷, S or O ;

15 R⁷ is selected from the group of hydrogen, alkyl, Ar, Ar-alkyl, alkylcarbonyl, alkyloxy carbonyl and mono- and di(alkyl)aminocarbonyl ;

R¹, R², R¹⁴, R¹⁵ are each, independently from each other, selected from the group of

- hydrogen ;

- halo ;

20 - a radical selected from the group of hydroxy, -OSO₂H, -OSO₂CH₃,

alkyloxy, alkyloxyalkyloxy, alkyloxyalkyloxyalkyloxy,

tetrahydrofuranyloxy, alkylcarbonyloxy, alkyloxyalkylcarbonyloxy,

pyridinylcarbonyloxy, alkylcarbonyloxyalkyloxy,

alkyloxyalkylcarbonyloxyalkyloxy, alkyloxy carbonyloxy, alkenyloxy,

25 alkenylcarbonyloxy, mono- or di(alkyl)aminoalkyloxy, mono- or

di(alkyl)aminocarbonyloxyalkyloxy ;

- a radical selected from the group of cyano, CN-OH, CN-oxyalkyl, alkyl,

alkyloxyalkyl, alkyloxyalkyloxyalkyl, alkyloxyalkyloxyalkyloxyalkyl,

alkylcarbonylalkyl, alkylcarbonyloxyalkyl, alkyloxy carbonylalkyl, Ar-

30 alkyl, Ar-carbonylalkyl, Ar-oxyalkyl, mono- or di(alkyl)aminoalkyl,

mono- or di(alkylcarbonyl)aminoalkyl, mono- or di(alkyl)aminocarbonyl-

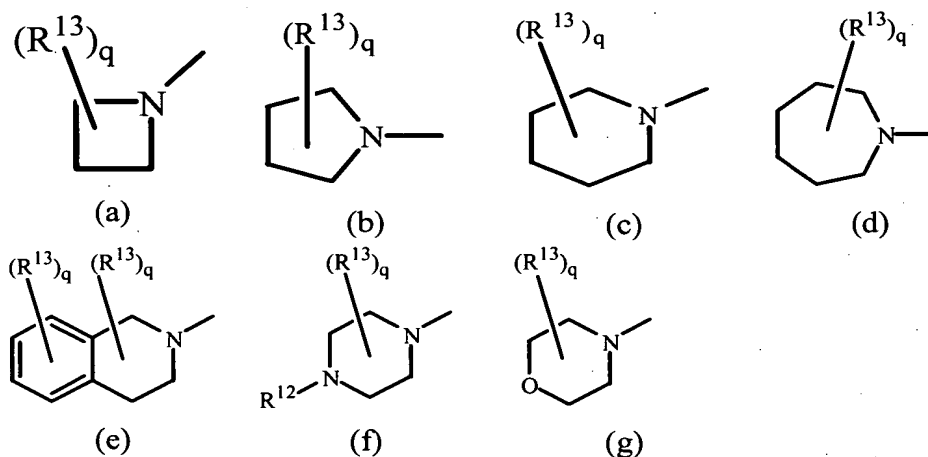
alkyl, Het-alkyl, formyl, alkylcarbonyl, alkyloxy carbonyl, alkyloxyalkyl-

carbonyl, mono- or di(alkyl)aminocarbonyl, Ar-carbonyl and Ar-

oxycarbonyl ;

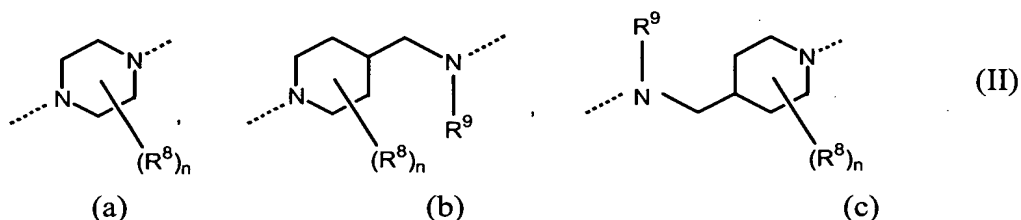
35 -N-R¹⁰R¹¹ wherein R¹⁰ and R¹¹ each, independently from each other, are selected from the group of hydrogen, alkyl, Ar, pyridinyl, Ar-alkyl,

5 pyrrolidinylalkyl, piperidinylalkyl, homopiperidinylalkyl,
 piperazinylalkyl, morpholinylalkyl, mono- or di(alkyl)aminoalkyl,
 alkylcarbonyl, alkenylcarbonyl, Ar-carbonyl, pyridinylcarbonyl,
 alkyloxycarbonyl, mono- or di(alkyl)aminocarbonyl, mono- or
 10 di(Ar)aminocarbonyl, mono- or di(alkyloxycarbonylalkyl)aminocarbonyl,
 pyrrolidinylcarbonyl, aminoiminomethyl, alkylaminoiminomethyl, *N*-
 benzylpiperazinyliminomethyl, alkylsulphonyl and Ar-sulphonyl ; or
 R^{10} and R^{11} may be taken together and with the N may form a
 monovalent radical selected from the group of



15 wherein :
 R^{12} is selected from the group of hydrogen, alkyl, Ar, Ar-alkyl,
 Ar-alkenyl, alkylcarbonyl, alkyloxycarbonyl,
 alkyloxyalkylcarbonyl and mono- or di(alkyl)aminocarbonyl ;
 each R^{13} is, independently from each other, selected from the group of
 20 alkyl, oxo, Ar, Ar-alkyl, Ar-alkenyl and alkyloxycarbonyl ;
 q is an integer ranging from 0 to 6 ;
 - alkylthio ;
 - Ar and Het ;
with the proviso that at least one of R^{14} and R^{15} is not hydrogen.
 25 Ar is phenyl or naphthyl, optionally substituted with one or more halo, cyano,
 oxo, hydroxy, alkyl, formyl, alkyloxy or amino radicals ;
 Het is a heterocyclic radical selected from the group of Het¹, Het² and Het³ ;
 Het¹ is an aliphatic monocyclic heterocyclic radical selected from the group of
 30 pyrrolidinyl, dioxolyl, imidazolidinyl, pyrrolidinyl, piperidinyl, dioxyl,
 morpholinyl, dithianyl, thiomorpholinyl, piperazinyl and tetrahydrofuryl ;

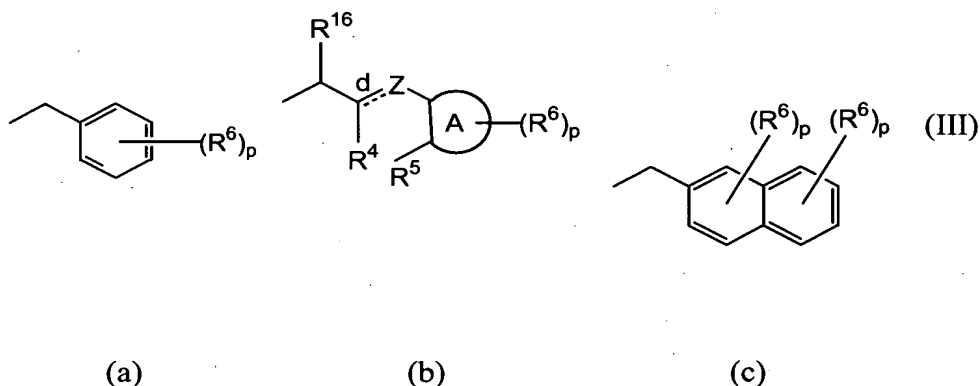
- 5 Het² is a semi-aromatic monocyclic heterocyclic radical selected from the group of 2H-pyrrolyl, pyrrolinyl, imidazolinyl and pyrazolinyl ;
- Het³ is an aromatic monocyclic heterocyclic radical selected from the group of pyrrolyl, pyrazolyl, imidazolyl, furyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl and triazinyl; or an aromatic bicyclic heterocyclic radical selected from the group of quinolinyl, quinoxalinyl, indolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzisothiazolyl, benzofuranyl and benzothieryl ;
- 10 wherein each Het-radical may optionally be substituted on either a carbon or heteroatom with halo, hydroxy, alkyloxy, alkyl, Ar, Ar-alkyl, formyl, alkylcarbonyl or pyridinyl ;
- 15 a and b are asymmetric centers ;
- (CH₂)_m is a straight hydrocarbon chain of m carbon atoms, m being an integer ranging from 1 to 4 ;
- 20 Pir is a radical according to any one of Formula (IIa), (IIb) or (IIc)



- optionally substituted with n radicals R⁸, wherein :
- 25 each R⁸ is independently from each other, selected from the group of hydroxy, amino, nitro, cyano, halo and alkyl ;
- n is an integer ranging from 0 to 5 ;
- R⁹ is selected from the group of hydrogen, alkyl and formyl ;
- R³ represents an optionally substituted aromatic homocyclic or heterocyclic ring system together with an optionally substituted and partially or
- 30 completely hydrogenated hydrocarbon chain of 1 to 6 atoms long with which said ring system is attached to the Pir radical and of which may contain one or more heteroatoms selected from the group of O, N and S ;
- alkyl represents a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical having
- 35 from 3 to 6 carbon atoms, optionally substituted with one or more halo, cyano, oxo, hydroxy, formyl or amino radicals and

5 alkenyl represents a straight or branched unsaturated hydrocarbon radical having one or more double bonds, optionally substituted with one or more halo, cyano, oxo, hydroxy, formyl or amino radicals.

10 2. A compound according to claim 1, characterized in that R^3 is a radical according to any one of Formula (IIIa), (IIIb) or (IIIc)



wherein :

- 15 d is a single bond while Z is a bivalent radical selected from the group of $-\text{CH}_2-$, $-\text{C}(=\text{O})-$, $-\text{CH}(\text{OH})-$, $-\text{C}(=\text{N}-\text{OH})-$, $-\text{CH}(\text{alkyl})-$, $-\text{O}-$, $-\text{S}-$, $-\text{S}(=\text{O})-$, $-\text{NH}-$ and $-\text{SH}-$; or d is a double bond while Z is a trivalent radical of formula $=\text{CH}-$ or $=\text{C}(\text{alkyl})-$;
- 20 A is a 5- or 6-membered aromatic homocyclic or heterocyclic ring, selected from the group of phenyl, pyranyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, thienyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, furanyl, oxadiazolyl and isoxazolyl ;
- p is an integer ranging from 0 to 6 ;
- R^4 and R^5 are each, independently from each other, selected from the group of hydrogen, alkyl, Ar, biphenyl, halo and cyano ; or
- 25 R^4 and R^5 may be taken together to form a bivalent radical $-\text{R}^4-\text{R}^5-$ selected from the group of $-\text{CH}_2-$, $=\text{CH}-$, $-\text{CH}_2-\text{CH}_2-$, $-\text{CH}=\text{CH}-$, $-\text{O}-$, $-\text{NH}-$, $=\text{N}-$, $-\text{S}-$, $-\text{CH}_2\text{N}(-\text{alkyl})-$, $-\text{N}(-\text{alkyl})\text{CH}_2-$, $-\text{CH}_2\text{NH}-$, $-\text{NHCH}_2-$, $-\text{CH}=\text{N}-$, $-\text{N}=\text{CH}-$, $-\text{CH}_2\text{O}-$ and $-\text{OCH}_2-$;
- 30 each R^6 is independently from each other, selected from the group of hydroxy, amino, nitro, cyano, halo, carboxyl, alkyl, Ar, alkyloxy, Ar-oxy, alkylcarbonyloxy, alkyloxycarbonyl, alkylthio, mono- and

- 5 di(alkyl)amino, alkylcarbonylamino, mono- and
di(alkyl)aminocarbonyl, mono- and di(alkyl)aminocarbonyloxy,
mono- and di(alkyl)aminoalkyloxy ; or
two vicinal radicals R^6 may be taken together to form a bivalent radical $-R^6-R^6-$
selected from the group of $-CH_2-CH_2-O-$, $-O-CH_2-CH_2-$, $-O-CH_2-$
10 $C(=O)-$, $-C(=O)-CH_2-O-$, $-O-CH_2-O-$, $-CH_2-O-CH_2-$, $-O-CH_2-CH_2-$
 $O-$, $-CH=CH-CH=CH-$, $-CH=CH-CH=N-$, $-CH=CH-N=CH-$,
 $-CH=N-CH=CH-$, $-N=CH-CH=CH-$, $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-$
 $C(=O)-$, $-C(=O)-CH_2-CH_2-$, $-CH_2-C(=O)-CH_2-$ and
 $-CH_2-CH_2-CH_2-CH_2-$ and
15 R^{16} is selected from the group of hydrogen, alkyl, Ar and Ar-alkyl.
3. A compound according to claim 2, characterized in that $X = O$; $m = 1$; Pir is a
radical according to Formula (IIa) wherein $n = 0$; R^3 is a radical according to
Formula (IIIb) wherein d is a double bond while Z is a trivalent radical of
20 formula $=CH-$, A is a phenyl ring, R^4 is hydrogen or alkyl and R^5 and R^{16} are
each hydrogen.
4. A compound according to any one of claims 1-3, characterized in that R^1 , R^2 ,
 R^{14} and R^{15} are each, independently from each other, selected from the group of
25 hydrogen ; halo ; cyano ; hydroxy ; alkyloxy ; alkylcarbonyloxyalkyloxy ;
alkyloxyalkylcarbonyloxyalkyloxy ; monoalkylaminocarbonyloxyalkyloxy ;
morpholinylalkyl ; $-NR^{10}R^{11}$, wherein R^{10} and R^{11} each, independently from
each other, are selected from the group of hydrogen, pyrrolidinylalkyl, mono- or
di(alkyl)aminoalkyl, pyridinyl, alkylcarbonyl and phenylalkyl ; or R^{10} and R^{11}
30 are taken together to form a radical (a) wherein R^{13} is oxo or a radical (f)
wherein R^{12} is hydrogen and $q = 0$; with the proviso that at least one of R^{14} and
 R^{15} is not hydrogen.
5. A compound according to any one of claims 1-3, characterized in that R^1 and R^2
35 are both either hydrogen or methoxy and R^{14} and R^{15} are each, independently
from each other, selected from the group of hydrogen ; halo ; cyano ; hydroxy ;
alkyloxy ; alkylcarbonyloxyalkyloxy ; alkyloxyalkylcarbonyloxyalkyloxy ;
monoalkylaminocarbonyloxyalkyloxy ; morpholinylalkyl ; $-NR^{10}R^{11}$, wherein
 R^{10} and R^{11} each, independently from each other, are selected from the group of
40 hydrogen, pyrrolidinylalkyl, mono- or di(alkyl)aminoalkyl, pyridinyl,
alkylcarbonyl and phenylalkyl ; or R^{10} and R^{11} are taken together to form a

- 5 radical (a) wherein R^{13} is oxo or a radical (f) wherein R^{12} is hydrogen and $q = 0$;
with the proviso that at least one of R^{14} and R^{15} is not hydrogen.
6. A compound which is degraded *in vivo* to yield a compound according to any
one of claims 1-5.
- 10 7. A compound according to any one of claims 1-6 for use as a medicine.
8. The use of a compound according to any one of claims 1-6 for the manufacture
of a medicament for treating depression, anxiety, movement disorders,
15 psychosis, Parkinson's disease and body weight disorders.
9. A pharmaceutical composition comprising a pharmaceutically acceptable carrier
and, as active ingredient a therapeutically effective amount of a compound
according to any one of claims 1 - 6.
- 20 10. A process for making a pharmaceutical composition according to claim 9,
comprising mixing a compound according to any one of claims 1-6 and a
pharmaceutically acceptable carrier.
- 25 11. A pharmaceutical composition comprising a pharmaceutically acceptable
carrier and, as active ingredient a therapeutically effective amount of a
compound according to any one of claims 1-6 and one or more other
compounds selected from the group of antidepressants, anxiolytics, anti-
psychotics and anti-Parkinson's disease drugs.
- 30 12. The use of a pharmaceutical composition according to claim 11 for the
manufacture of a medicament to improve efficacy and/or onset of action in the
treatment of depression, anxiety, movement disorders, psychosis,
Parkinson's disease and body weight disorders.
- 35 13. The use of a compound according to any one of claims 1-6 for the manufacture
of a medicament for the treatment and/or prophylaxis of depression, anxiety,
movement disorders, psychosis, Parkinson's disease and body weight disorders,
said treatment comprising the simultaneous or sequential administration of a
40 compound according to any one of claims 1-6 and one or more other
compounds selected from the group of antidepressants, anxiolytics,

5 antipsychotics and anti-Parkinson's drugs.

14. A process for making a pharmaceutical composition according to claim 11,
comprising mixing a compound according to any one of claims 1-6 and a
10 compound selected from the group of antidepressants, anxiolytics,
antipsychotics and anti-Parkinson's disease drugs and a pharmaceutically
acceptable carrier.